

10530876new1

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

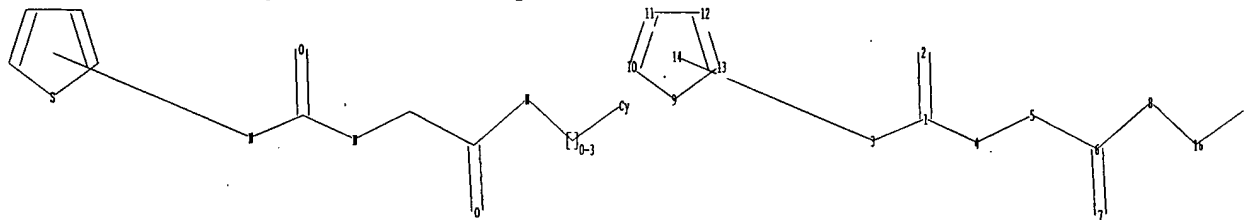
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10530876new2.str



chain nodes :

1 2 3 4 5 6 7 8 16 17

ring nodes :

9 10 11 12 13

chain bonds :

1-2 1-3 1-4 4-5 5-6 6-7 6-8 8-16 16-17

ring bonds :

9-10 9-13 10-11 11-12 12-13

exact/norm bonds :

1-2 1-3 1-4 4-5 6-7 6-8 8-16 16-17

exact bonds :

5-6 9-10 9-13 10-11 11-12 12-13

isolated ring systems :

containing 9 :

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 16:CLASS 17:Atom

L4 STRUCTURE UPLOADED

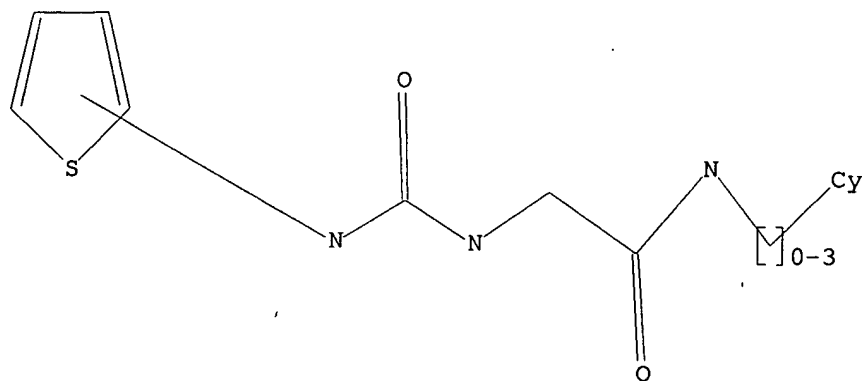
=> d

L4 HAS NO ANSWERS

L4 STR

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Structure attributes must be viewed using STN Express query preparation.

=> s 14 full

FULL SEARCH INITIATED 15:20:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 6962 TO ITERATE

100.0% PROCESSED 6962 ITERATIONS
SEARCH TIME: 00.00.01

128 ANSWERS

L5 128 SEA SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE
ENTRY
172.10

TOTAL
SESSION
376.50

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
0.00

TOTAL
SESSION
-4.68

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 15:20:49 ON 06 APR 2007

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FILE COVERS 1907 - 6 Apr 2007 VOL 146 ISS 16

FILE LAST UPDATED: 5 Apr 2007 (20070405/ED)

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=> s 15

L6 12 L5

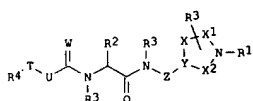
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Karen Cheng

Date: 10/10/02

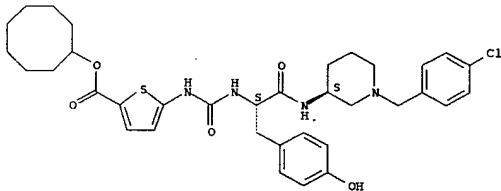
L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:174409 CAPLUS
 DOCUMENT NUMBER: 146:252103
 TITLE: Preparation of amino acid derivatives as M3 muscarinic acetylcholine receptor antagonists
 INVENTOR(S): Busch-Petersen, Jakob; Fu, Wei; Jin, Jian; Moore, Michael Lee; Rivero, Ralph A.; Shi, Dongchuan; Wang, Feng
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 66pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPL. INFO.:		WO 2005-US26877 20050728		
GI				



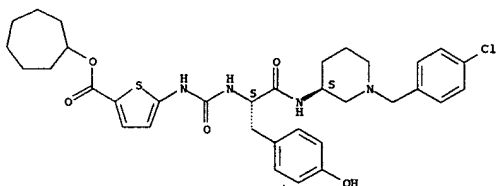
AB Amino acid derivs. I [X is C, O; Y is C, N; X1, X2, Z are (CH2)0-2; R1 is H, (un)substituted alkyl, Ph, thienyl, furyl, etc.; R2 is methylene, ethylene, or propylene substituted by Ph, thienyl, furyl, pyridyl, naphthyl, quinolinyl, indolyl, benzothienyl, benzofuranyl, etc.; R3 is H, (un)substituted alkyl, cycloalkyl, Ph, etc.; R4 is (un)substituted alkyl, cycloalkyl, Ph, etc.; U is NR3, O, or a bond; W is O, S, or NH; T is (un)substituted Ph, thienyl, furyl, pyridyl, naphthyl, quinolinyl, indolyl, benzothienyl, or benzofuranyl] were prepared as muscarinic acetylcholine receptor antagonists. Thus, Et 4-[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[[[(1S)-2-[[[(1S)-1-[(4-chlorophenyl)methyl]-3-piperidinyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME) pyroglutidyl]amino]-2-oxoethyl]amino]carbonyl]amino]benzoate was prepared by

L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



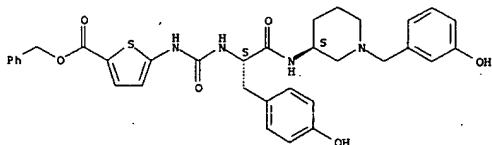
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 CN 2-Thiophenecarboxylic acid, 5-[[[(1S)-2-[[[(1S)-1-[(4-chlorophenyl)methyl]-3-piperidinyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 925905-00-6 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[[[(1S)-1-[(3-hydroxyphenyl)methyl]-3-piperidinyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



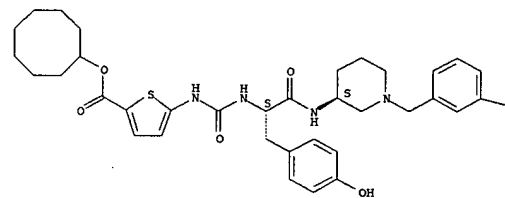
RN 925905-01-7 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[(1S)-2-[[[(1S)-1-[(4-chlorophenyl)methyl]-3-piperidinyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

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L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 IT a multistep procedure in solid phase starting from protected tyrosine.
 925904-97-8P 925904-98-9P 925904-99-0P
 925905-00-6P 925905-01-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor antagonists)

RN 925904-97-8 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[[[(1S)-1-[(3-hydroxyphenyl)methyl]-3-piperidinyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

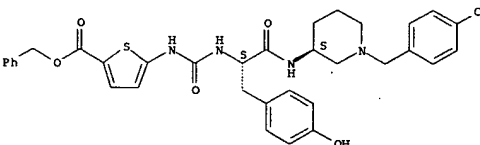
PAGE 1-B

RN 925904-98-9 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[(1S)-2-[[[(1S)-1-[(4-chlorophenyl)methyl]-3-piperidinyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 3-piperidinyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]amino]-, phenylmethyl ester (CA INDEX NAME)

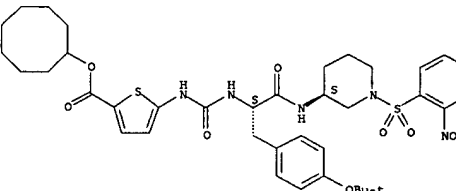
Absolute stereochemistry.



IT 925941-31-7DP, resin-bound 925941-32-8DP, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor antagonists)

RN 925941-31-7 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[(1S)-1-[(4-(1,1-dimethylethoxy)phenyl)methyl]-2-[[[(1S)-1-[(2-nitrophenyl)sulfonyl]-3-piperidinyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

Absolute stereochemistry.

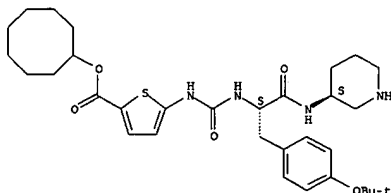


RN 925941-32-8 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[(1S)-1-[(4-(1,1-dimethylethoxy)phenyl)methyl]-2-oxo-2-[(1S)-3-piperidinylamino]ethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

Absolute stereochemistry.

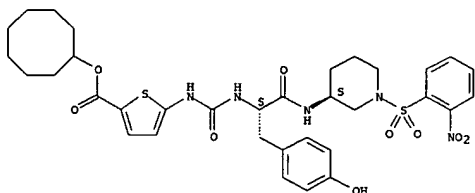
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L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 925905-10-8P 925905-12-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of amino acid derivs. as M3 muscarinic acetylcholine
 receptor antagonists)
 RN 925905-10-8 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-
 [(3S)-1-[(2-nitrophenyl)sulfonyl]-3-piperidinyl]amino]-2-
 oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

Absolute stereochemistry.



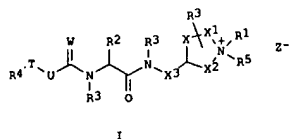
RN 925905-12-0 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-oxo-2-
 [(3S)-3-piperidinylamino]ethyl]amino]carbonyl]amino]-, cyclooctyl ester
 (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:174402 CAPLUS
 DOCUMENT NUMBER: 146:252102
 TITLE: Preparation of amino acid derivatives as M3 muscarinic
 acetylcholine receptor antagonists
 INVENTOR(S): Busch-Petersen, Jakob; Fu, Wei; Jin, Jian; Moore,
 Michael Lee; Rivero, Ralph A.; Shi, Dongchuan; Wang,
 Feng; Wang, Yonghui
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 100pp.
 CODEN: PIXXK2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

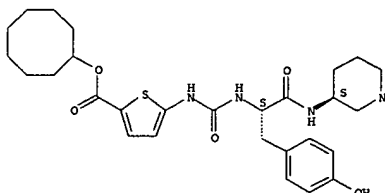
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AB Amino acid derivs. I [X is C, O; X1, X2, X3 are (CH2)0-2; R1 is H,
 (un)substituted alkyl, Ph, thienyl, furyl, etc.; R2 is methylene,
 ethylene, or propylene substituted by Ph, thienyl, furyl, pyridyl,
 naphthyl, quinolinyl, indolyl, benzothienyl, benzofuranyl, etc.; R3 is H,
 (un)substituted alkyl, cycloalkyl, Ph, etc.; R4, R5 are (un)substituted
 alkyl, cycloalkyl, Ph, etc.; U is NR3, O, or a bond; V is O, S, or NH;
 T is (un)substituted Ph, thienyl, furyl, pyridyl, naphthyl, quinolinyl,
 indolyl, benzothienyl, or benzofuranyl] were prepared as muscarinic
 acetylcholine receptor antagonists. Thus, N-[[[4-
 (ethoxycarbonyl)phenyl]amino]carbonyl]-N-[(3S)-1-[(4-hydroxyphenyl)methyl]-

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L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

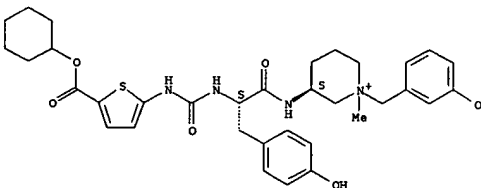
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

1-methyl-3-pyrrolidiniumyl]-L-tyrosinamide trifluoroacetate was prepd. by
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 IT 926288-98-4P 926289-00-1P 926289-02-3P
 926289-04-5P 926289-06-7P 926289-08-9P
 926289-10-3P 926289-12-5P 926289-14-7P
 926289-16-9P 926289-18-1P 926289-20-3P
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 926289-64-7P 926289-66-9P 926289-68-1P
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 926289-76-1P 926289-78-3P 926289-80-7P
 926290-04-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of amino acid derivs. as M3 muscarinic acetylcholine
 receptor antagonists)

RN 926288-98-4 CAPLUS
 CN Piperidinium, 3-[[[(2S)-2-[[[5-[(cyclohexyloxy)carbonyl]-2-
 thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-
 hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA
 INDEX NAME)

CH 1
 CRN 926288-97-3
 CMF C34 H43 N4 O6 S

Absolute stereochemistry.



CH 2
 CRN 14477-72-6
 CMF C2 F3 O2

10530876new1

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

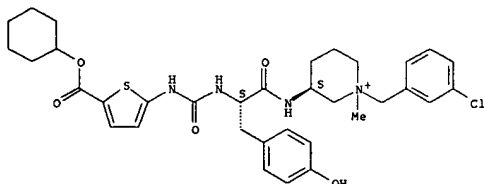


RN 926289-00-1 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclohexyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CH 1

CRN 926288-99-5
CMF C34 H42 Cl N4 O5 S

Absolute stereochemistry.



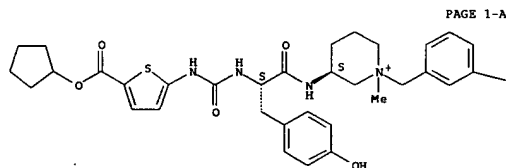
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CRN 14477-72-6
CMF C2 F3 O2



RN 926289-02-3 CAPLUS
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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



PAGE 1-A

PAGE 1-B

OH

CH 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-06-7 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclopentyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CH 1

CRN 926289-05-6
CMF C33 H40 Cl N4 O5 S

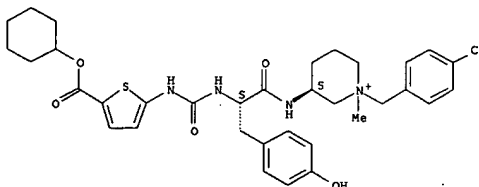
Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CH 1

CRN 926289-01-2
CMF C34 H42 Cl N4 O5 S

Absolute stereochemistry.



CH 2

CRN 14477-72-6
CMF C2 F3 O2



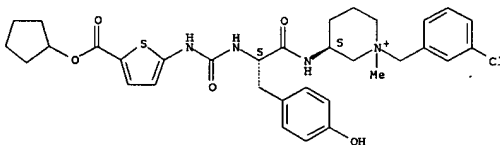
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CN Piperidinium, 3-[[[(2S)-2-[[[5-[(cyclopentyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CH 1

CRN 926289-03-4
CMF C33 H41 N4 O6 S

Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CH 2

CRN 14477-72-6
CMF C2 F3 O2

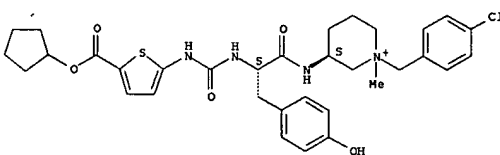


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CH 1

CRN 926289-07-8
CMF C33 H40 Cl N4 O5 S

Absolute stereochemistry.



CH 2

CRN 14477-72-6
CMF C2 F3 O2

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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



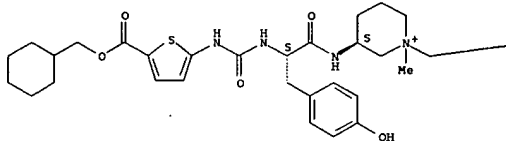
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CM 1

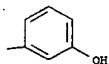
CRN 926289-09-0
 CMF C35 H45 N4 O6 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 14477-72-6
 CMF C2 F3 O2

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



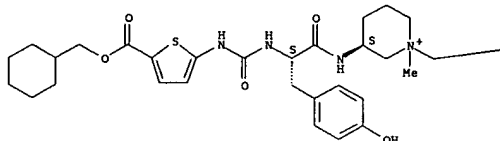
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 CN Piperidinium, 1-[[[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclohexylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-11-4
 CMF C35 H44 Cl N4 O5 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 14477-72-6
 CMF C2 F3 O2

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



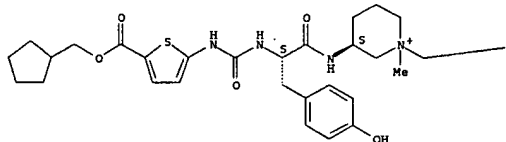
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 CN Piperidinium, 3-[[[(2S)-2-[[[5-[(cyclopentylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[[[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

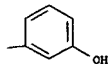
CRN 926289-13-6
 CMF C34 H43 N4 O6 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 14477-72-6
 CMF C2 F3 O2



RN 926289-16-9 CAPLUS

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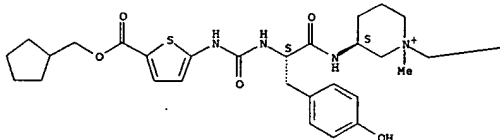
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN Piperidinium, 1-[[[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclopentylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-15-8
 CMF C34 H42 Cl N4 O5 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 14477-72-6
 CMF C2 F3 O2



RN 926289-18-1 CAPLUS
 CN Piperidinium, 1-[[[(4-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclopentylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

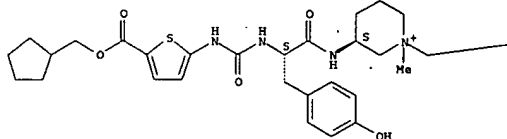
CRN 926289-17-0
 CMF C34 H42 Cl N4 O5 S

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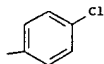
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 14477-72-6
CMF C2 F3 O2



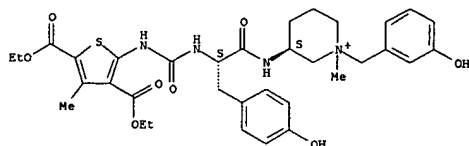
RN 926289-20-5 CAPLUS
CN Piperidinium, 3-[[[(2S)-2-[[[(3,5-bis(ethoxycarbonyl)-4-methyl-2-thienyl]amino)carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-19-2
CMF C34 H43 N4 O8 S

Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 14477-72-6
CMF C2 F3 O2

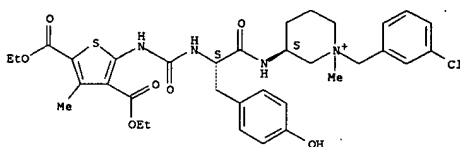


RN 926289-22-7 CAPLUS
CN Piperidinium, 3-[[[(2S)-2-[[[(3,5-bis(ethoxycarbonyl)-4-methyl-2-thienyl]amino)carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-chlorophenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-21-6
CMF C34 H42 Cl N4 O7 S

Absolute stereochemistry.



CM 2

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 14477-72-6
CMF C2 F3 O2

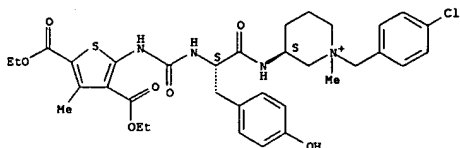


RN 926289-24-9 CAPLUS
CN Piperidinium, 3-[[[(2S)-2-[[[(3,5-bis(ethoxycarbonyl)-4-methyl-2-thienyl]amino)carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(4-chlorophenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-23-8
CMF C34 H42 Cl N4 O7 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-26-1 CAPLUS
CN Piperidinium, 3-[[[(2S)-2-[[[(5-(ethoxycarbonyl)-2-thienyl]amino)carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

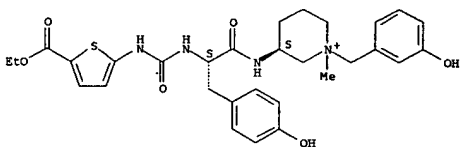
CM 1

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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 926289-25-0
CMF C30 H37 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

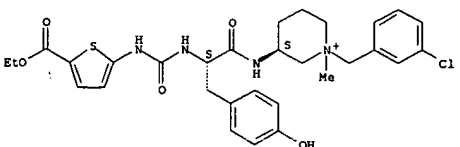


RN 926289-28-3 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[(5-(ethoxycarbonyl)-2-thienyl]amino)carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-27-2
CMF C30 H36 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6

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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C2 F3 O2

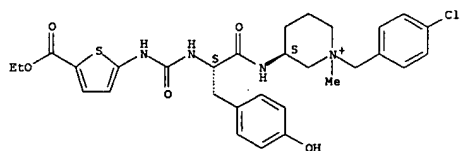


RN 926289-30-7 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-(ethoxycarbonyl)-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-29-4
CMF C30 H36 Cl N4 O5 S

Absolute stereochemistry.



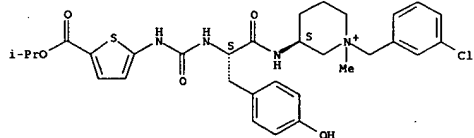
CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-32-9 CAPLUS
CN Piperidinium, 1-[(3-hydroxyphenyl)methyl]-3-[[[(2S)-3-(4-hydroxyphenyl)-2-[[[5-[(1-methylethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 14477-72-6
CMF C2 F3 O2

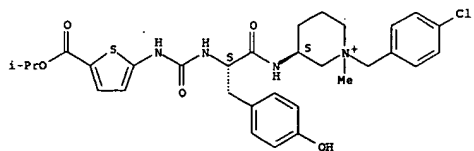


RN 926289-36-3 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[[(2S)-3-(4-hydroxyphenyl)-2-[[[5-[(1-methylethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-35-2
CMF C31 H38 Cl N4 O5 S

Absolute stereochemistry.



CM 2

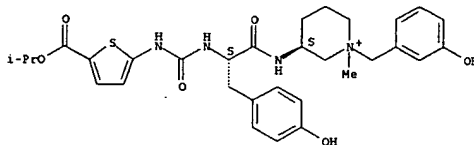
CRN 14477-72-6
CMF C2 F3 O2

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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

CRN 926289-31-8
CMF C31 H39 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-34-1 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[[(2S)-3-(4-hydroxyphenyl)-2-[[[5-[(1-methylethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-33-0
CMF C31 H38 Cl N4 O5 S

Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

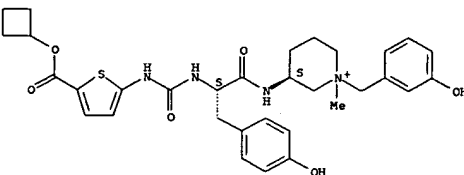


RN 926289-38-5 CAPLUS
CN Piperidinium, 3-[[[(2S)-2-[[[5-[(cyclobutoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[[[5-[(1-methylethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-37-4
CMF C32 H39 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-40-9 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclobutoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

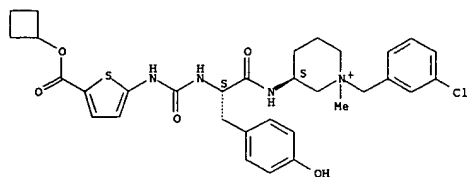
CM 1

CRN 926289-39-6
CMF C32 H38 Cl N4 O5 S

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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



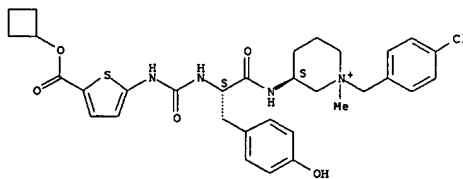
RN 926289-42-1 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclobutyl)oxy]carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-41-0
CMF C32 H38 Cl N4 O5 S

Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 14477-72-6
CMF C2 F3 O2



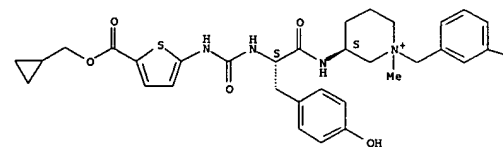
RN 926289-44-3 CAPLUS
CN Piperidinium, 3-[[[(2S)-2-[[[5-[(cyclopropylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-43-2
CMF C32 H39 N4 O6 S

Absolute stereochemistry.

PAGE 1-A



L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B

OH

CM 2

CRN 14477-72-6
CMF C2 F3 O2

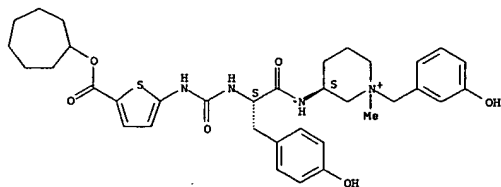


RN 926289-46-5 CAPLUS
CN Piperidinium, 3-[[[(2S)-2-[[[5-[(cycloheptyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-45-4
CMF C35 H45 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

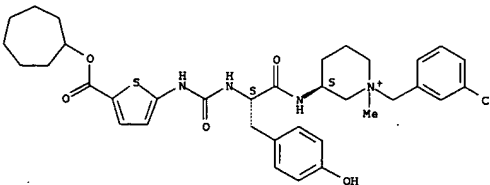


RN 926289-48-7 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cycloheptyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-47-6
CMF C35 H44 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-50-1 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cycloheptyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

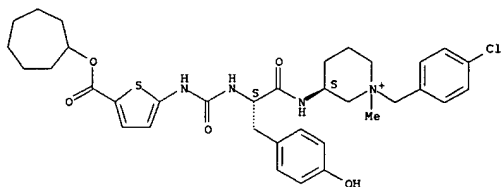
CM 1

CRN 926289-49-8
CMF C35 H44 Cl N4 O5 S

10530876new1

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

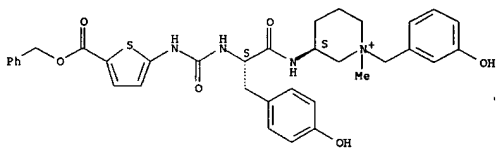


RN 926289-52-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

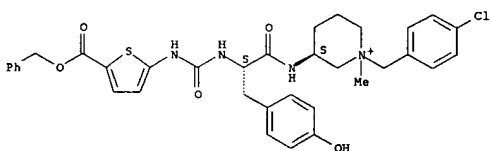
CRN 926289-51-2
CMF C35 H39 N4 O6 S

Absolute stereochemistry.



L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C35 H38 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

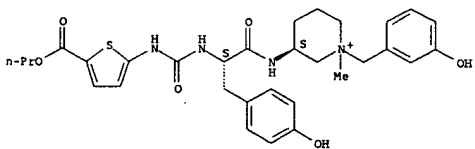


RN 926289-58-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 926289-57-8
CMF C31 H39 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 14477-72-6
CMF C2 F3 O2

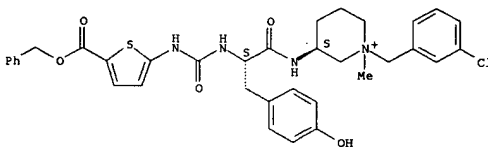


RN 926289-54-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 926289-53-4
CMF C35 H38 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-56-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 926289-55-6

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

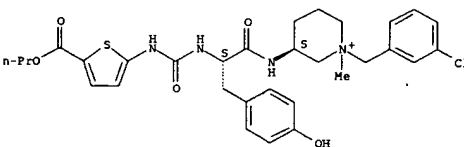


RN 926289-60-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 926289-59-0
CMF C31 H38 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-62-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

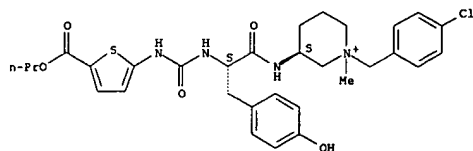
CM 1

CRN 926289-61-4
CMF C31 H38 Cl N4 O5 S

Absolute stereochemistry.

10530876new1

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 14477-72-6
CMF C2 F3 O2

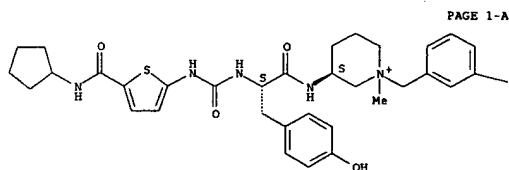
RN 926289-64-7 CAPLUS

CN Piperidinium, 3-[[[(2S)-2-[[[5-[(cyclopentylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-63-6
CMF C33 H42 N5 O5 S

Absolute stereochemistry.



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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



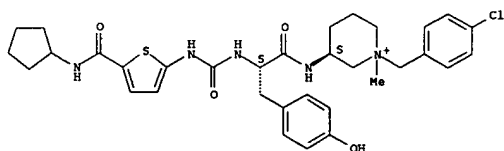
RN 926289-68-1 CAPLUS

CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclopentylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-67-0
CMF C33 H41 Cl N5 O4 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-70-5 CAPLUS

CN Piperidinium, 3-[[[(2S)-2-[[[5-[(cycloheptylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-69-2
CMF C35 H46 N5 O5 S

Absolute stereochemistry.

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L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B



CM 2

CRN 14477-72-6
CMF C2 F3 O2

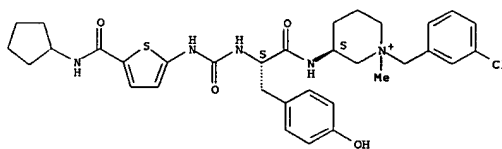
RN 926289-66-9 CAPLUS

CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclopentylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-65-8
CMF C33 H41 Cl N5 O4 S

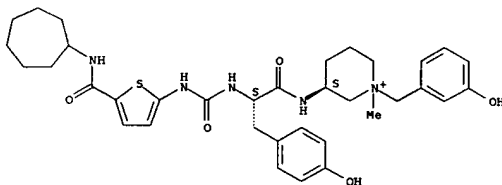
Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 14477-72-6
CMF C2 F3 O2

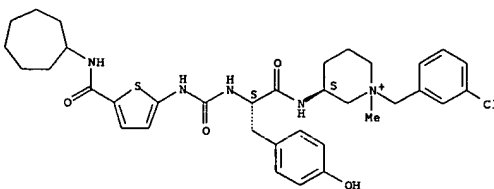
RN 926289-72-7 CAPLUS

CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cycloheptylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-71-6
CMF C35 H45 Cl N5 O4 S

Absolute stereochemistry.



10530876new1

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 14477-72-6
CMF C2 F3 O2



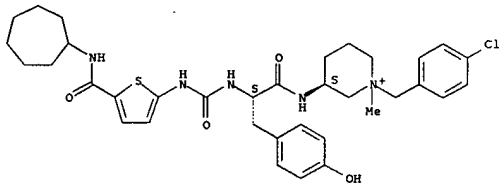
RN 926289-74-9 CAPLUS

CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cycloheptylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-73-8
CMF C35 H45 Cl N5 O4 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

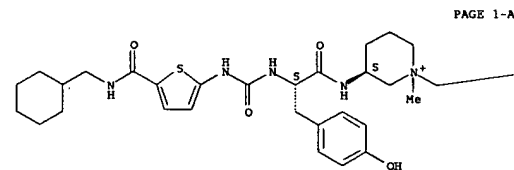


L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

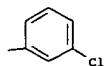
CM 1

CRN 926289-77-2
CMF C35 H45 Cl N5 O4 S

Absolute stereochemistry.



PAGE 1-A



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-80-7 CAPLUS

CN Piperidinium, 1,1-bis[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(ethoxycarbonyl)-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-79-4
CMF C36 H39 Cl2 N4 O5 S

Absolute stereochemistry.

Karen Cheng

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

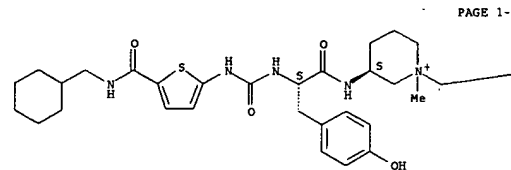
RN 926289-76-1 CAPLUS

CN Piperidinium, 3-[[[(2S)-2-[[[5-[(cyclohexylmethyl)amino]carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[[[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

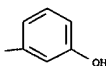
CM 1

CRN 926289-75-0
CMF C35 H46 N5 O5 S

Absolute stereochemistry.



PAGE 1-A



PAGE 1-B

CM 2

CRN 14477-72-6
CMF C2 F3 O2



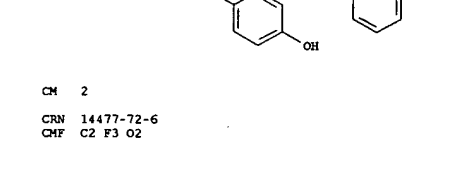
RN 926289-78-3 CAPLUS

CN Piperidinium, 1-[[[(3-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclohexylmethyl)amino]carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 14477-72-6
CMF C2 F3 O2



CM 2

CRN 14477-72-6
CMF C2 F3 O2



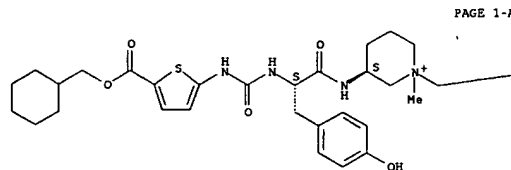
RN 926290-04-2 CAPLUS

CN Piperidinium, 1-[[[(4-chlorophenyl)methyl]-3-[[[(2S)-2-[[[5-[(cyclohexylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

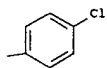
CM 1

CRN 926290-03-1
CMF C35 H44 Cl N4 O5 S

Absolute stereochemistry.



PAGE 1-A

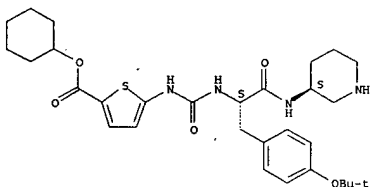


CM 2

CRN 14477-72-6
CMF C2 F3.02

IT 926289-99-80P, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor antagonists)
 RN 926289-99-8 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[(4-(1,1-dimethylethoxy)phenyl)methyl]-2-oxo-2-[(3S)-3-piperidinylamino]ethyl]amino]carbonyl]amino]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 926289-88-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor

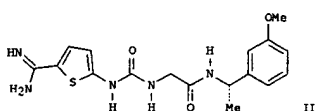
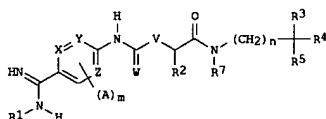
L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:884851 CAPLUS
 DOCUMENT NUMBER: 145:299237
 TITLE: Amidino heteroaryl compounds for stabilizing factor VII polypeptide formulations
 INVENTOR(S): Petersen, Anders Klarskov; Bowler, Andrew Neil
 PATENT ASSIGNEE(S): Novo Nordisk Health Care AG, Svltz.
 SOURCE: PCT Int. Appl., 42pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006089953	A1	20060831	WO 2006-EP60270	20060224

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, ME, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BG, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DK 2005-285 X 20050224
 OTHER SOURCE(S): MARPAT 145:299237
 GI

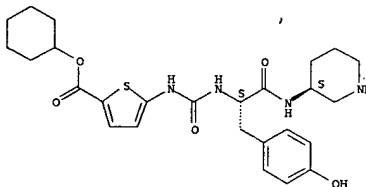


AB The invention relates to novel compds. of the formula I [m = 0-2; n = 0-1; A = halo or OR; V = NR6 or O; W = S or O; X, Y and Z independently = C or

Karen Cheng

antagonists)
 RN 926289-88-5 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-oxo-2-[(3S)-3-piperidinylamino]ethyl]amino]carbonyl]amino]-, cyclohexyl ester (CA INDEX NAME)

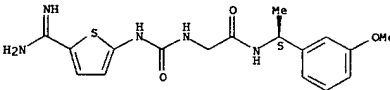
Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 N with provision at least one equals N, or X and Y together is a sulfur atom; R1 = H, OH, alkoxycarbonyl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, CN, OH, and alkyl; R4 = (un)substituted alkyl, aryl, arylalkyl, etc.; R5 = H, (un)substituted heterocyclyl, alkyl, etc.; R6 and R7 independently = H or alkyl and their use in stabilization of Factor VIIa or other Factor VII polypeptides, particularly in aq. liq. compns. thereof. Methods for prep. I are described (no data). A formulation of invention compd. II with rhFVIIa substantially maintained clot activity up through 9 mo under storage conditions of 5 °C.
 IT 908280-16-0
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (amidino-compds. for stabilizing factor VII polypeptide formulations)
 RN 908280-16-0 CAPLUS
 CN Acetamide, 2-[[[[(5-(aminomethyl)-2-thienyl)amino]carbonyl]amino]-N-[(1S)-1-(3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10530876new1

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:608671 CAPLUSDOCUMENT NUMBER: 145:83655
TITLE: Preparation of fused heteroaromatic quaternary ammonium salt amino acid derivatives as novel muscarinic acetylcholine receptor antagonists
INVENTOR(S): Busch-Petersen, Jakob; Davis, Roderick S.; Fu, Wei; Jin, Jian; Laine, Dramane I.; Palovich, Michael R.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006065755	A2	20060622	WO 2005-US44951	20051213
WO 2006065755	A3	20061012		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, IS, JP, KE, KG, KH, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, ME, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, NG, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: MARPAT 145:83655 US 2004-635664P P 20041213
OTHER SOURCE(S): GI

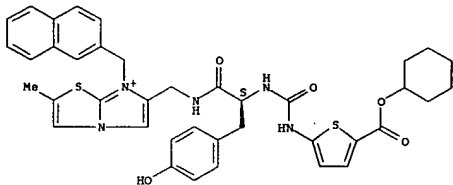
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to amino acid heteroarom. derivs. I [Y is S, O or NR4 (R4 is H, alkyl, allyl); X, Z are N or CR5 (R5 is H, alkyl, alkenyl, halo, NR4, OR4, CN, NO2, CF3), provided that N 5 2 for X and 3 for Z; n is 0-3; A- is halo, CF3CO2-, mesylate, tosylate, etc.]; R1, R2 are (un)substituted alkyl, cycloalkyl, Ph, etc.; T is (un)substituted thiophene, furan, thiazole, isothiazole, pyrrole, imidazole, pyrazole, or Ph; R3 is acyl, carboxylic ester, sulfonyloxy, sulfonylamino, carbamoyl, etc.] for use in treating muscarinic acetylcholine receptor-mediated diseases. Thus, imidazothiazolium tyrosinamide derivative II was prepared by a multistep sequence involving reaction of 2-methylimidazo[2,1-b][1,3]thiazole-6-methanamine (preparation given) on DMFB resin with Fmoc-Tyr(Bu-t)-OH (Fmoc = fluorenylmethoxycarbonyl).

IT 891844-68-1P 891844-72-7P 891844-76-1P
891844-86-3P 891845-22-0P

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



CM 2

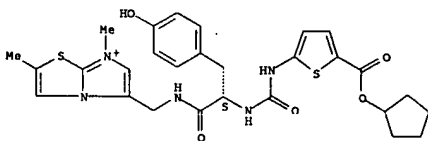
CRN 14477-72-6
CMF C2 F3 O2

RN 891844-76-1 CAPLUS
CN Imidazo[2,1-b]thiazolium, 5-[[[(2S)-2-[[[5-[(cyclopentyl)oxy]carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]-2,7-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 891844-75-0
CMF C28 H32 N5 O5 S2

Absolute stereochemistry.



CM 2

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L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

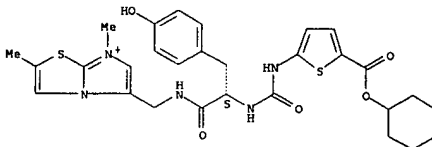
(Prepn. of fused heteroarom. quaternary ammonium salt amino acid derivs. as muscarinic acetylcholine receptor antagonists)

RN 891844-68-1 CAPLUS
CN Imidazo[2,1-b]thiazolium, 5-[[[(2S)-2-[[[5-[(cyclohexyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]-2,7-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 891844-67-0
CMF C29 H34 N5 O5 S2

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 891844-72-7 CAPLUS
CN Imidazo[2,1-b]thiazolium, 6-[[[(2S)-2-[[[5-[(cyclohexyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]-2-methyl-7-(2-naphthalenylmethyl)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 891844-71-6
CMF C39 H40 N5 O5 S2

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

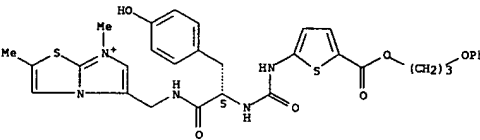
CRN 14477-72-6
CMF C2 F3 O2

RN 891844-86-3 CAPLUS
CN Imidazo[2,1-b]thiazolium, 5-[[[(2S)-3-(4-hydroxyphenyl)-1-oxo-2-[[[5-[(3-phenoxypropoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]propyl]amino]methyl]-2,7-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 891844-85-2
CMF C32 H34 N5 O6 S2

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

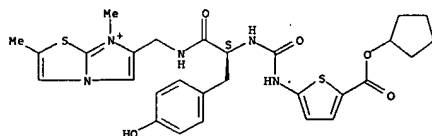
RN 891845-22-0 CAPLUS
CN Imidazo[2,1-b]thiazolium, 6-[[[(2S)-2-[[[5-[(cyclopentyl)oxy]carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]-2,7-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

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L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CRN 891845-21-9
 CMF C28 H32 N5 O5 S2

Absolute stereochemistry.



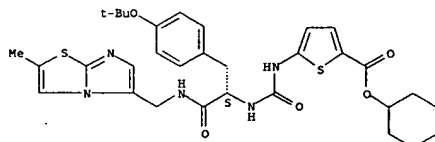
CM 2

CRN 14477-72-6
 CMF C2 F3 O2



IT 891845-34-4P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of fused heteroatom, quaternary ammonium salt amino acid derivs., as muscarinic acetylcholine receptor antagonists)
 RN 891845-34-4 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[[[(2-methylimidazo[2,1-b]thiazol-5-yl)methyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:605213 CAPLUS
 DOCUMENT NUMBER: 145:76661
 TITLE: Muscarinic acetylcholine receptor antagonists useful in the treatment of asthma, pulmonary diseases and other diseases of respiratory tract
 INVENTOR(S): Busch-Petersen, Jakob; Davis, Roderick S.; Fu, Wei; Jin, Jian; Laine, Dramane I.; Palovich, Michael R.
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006065788	A2	20060622	WO 2005-US45012	20051213
WO 2006065788	A3	20060817		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

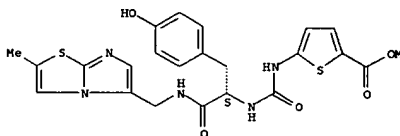
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPL. INFO.: US 2004-635703P P 20041213
 OTHER SOURCE(S): MARPAT 145:76661
 AB The invention discloses muscarinic acetylcholine receptor antagonists R3TNHC(O)NHCH(CH2R1)C(O)N(R4)(CH2)nCYC [CYC = Q1, Q2; Y = S, O, NR4; X = 2, CR5 (with provisions); Z = N, CR5 (with provisions); n = 0-3; R1 = (un)branched C1-8 alkyl, C3-8 cycloalkyl, etc.; T = thiophene, furan, thiazole, etc.; R3 = COR6, COOR6, OSO2R6, etc.; R4 = R, C1-3 alkyl, allyl; R5 = H, C1-3 alkyl, halo, etc.; R6 = (un)substituted, (un)branched C1-8 alkyl, C3-12 cycloalkyl, Ph, etc.] useful in treatment of respiratory tract diseases, including asthma, allergic rhinitis, pulmonary fibrosis and others.
 IT 892397-41-0P 892397-42-1P
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (muscarinic acetylcholine receptor antagonists useful in treatment of respiratory tract diseases)
 RN 892397-41-0 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[[4-(4-hydroxyphenyl)methyl]-2-[[[(2-methylimidazo[2,1-b]thiazol-5-yl)methyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

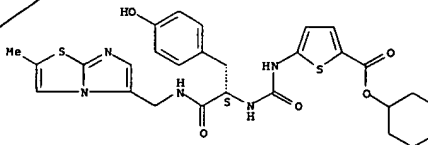
L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 892397-42-1 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[[4-(4-hydroxyphenyl)methyl]-2-[[[(2-methylimidazo[2,1-b]thiazol-5-yl)methyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Karen Cheng

10530876new1

L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:558817 CAPLUS

DOCUMENT NUMBER: 145:63142

TITLE: Preparation of amino acid urea derivatives as factor Xa inhibitors

INVENTOR(S): Song, Yonghong; Zhu, Bing-Yan; Wang, Shumei; Bhakta, Chhaya; Scarborough, Robert M.

PATENT ASSIGNEE(S): Portola Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 186 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006063113	A2	20060615	WO 2005-US44388	20051207

W: AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BV, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, ME, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006160821 A1 20060720 US 2005-298317 20051207
 PRIORITY APPLN. INFO.: US 2004-634201P P 20041207

OTHER SOURCE(S): MARPAT 145:63142

AB The invention relates to urea derivs. A-Q-D-(CR7R8)m(NR6)nOCOR4P5NR3CONR1R2 (m, n are 0 or 1; D is a direct bond, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heteromonocyclic, heterobicyclic; Q is a direct bond, alkylene, CO, CS, O, S, imino, SO2, SO, etc. (at least one of D and Q is not a direct bond); A is amino, amidino, guanidino groups, alkyl, pyridyl oxide, etc.; R1 is H, alkyl, arylalkyl, heteroaryl, alkenyl; R2 is (un)substituted arylalkyl, arylcycloalkyl, heteroaryl, cycloalkyl, etc.; R3-R8 are H, (un)substituted alkyl, alkenyl, cycloalkyl, etc.; or R4 may form a ring with R5 or R6 and their pharmaceutically-acceptable salts and prodrugs which are inhibitors of Factor Xa and are used to prevent or treat a number of conditions characterized by undesired thrombosis. Thus, N-[[[(4-chlorophenyl)amino]carbonyl]glycine [4-(1-methyl-4,5-dihydro-1H-imidazol-2-yl)phenyl]amide was prepared by reaction of Boc-protected glycine with 4-aminobenzonitrile, iodomethane, N-methylethylenediamine, and 4-chlorophenyl isocyanate. The product showed IC50 ≤ 100 nM for inhibition of factor Xa.

IT 891789-69-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid urea derivs. as factor Xa inhibitors)

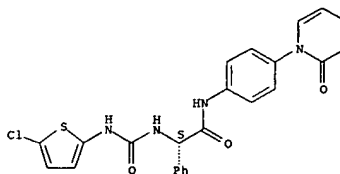
RN 891789-69-8 CAPLUS

CN Benzeneacetamide, α-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-

L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:817667 CAPLUS

DOCUMENT NUMBER: 141:327646

TITLE: Inhibitors of cathepsin S for use in pharmaceuticals

INVENTOR(S): Liu, Hong; Alper, Phil; Chatterjee, Arnab; Tully, David; Bursulaya, Badry; Woodmansee, David; Epple, Robert; Harris, Jennifer; Leslie, Li, Jun

PATENT ASSIGNEE(S): IRM LLC, Bermuda

SOURCE: PCT Int. Appl., 166 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004084843	A2	20041007	WO 2004-US9414	20040324
WO 2004084843	A3	20050929		

W: AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BV, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004248887 A1 20041209 US 2004-807613 20040323
 PRIORITY APPLN. INFO.: US 2003-457848P P 20030324
 US 2004-807613 A 20040323

OTHER SOURCE(S): MARPAT 141:327646

AB The present invention provides compds. R1-Y-X-NH-C(R2)(R3)-(CH2)n(R4)-CO-NH-C(R5)(R6)-C(R7)(R8)-N(R9)-Ar [R1 = H, (substituted)C6-10-aryl, 5-6-membered monocyclic, 8-10-membered bicyclic heteroaryl, C3-8-cycloalkyl or C3-8-heterocycle; R2 = (substituted)phenyl, 5-6-membered heteroaryl, C2-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-7-cycloalkyl, C7-11-bicycloalkyl; R3 = H, C1-4-alkyl; n = 0, 1; R4 = H, C1-6-alkyl; R5 = H, C3-7-cycloalkyl, C2-6-alkenyl, C2-6-alkynyl, (substituted)phenyl, 5-6-membered heteroaryl, C1-6-alkyl; Y = bond, (CR2OR21)m(CR2R22)p; p = 0, 1; m = 0, 1, 2; W = bond, O, S, SO, SO2, NR12; X = CO, OCO, NR24CO, SO2; R6-9 = H, C1-4-alkyl; Ar = substituted Ph or 5-6-membered heteroaryl; R20-23 = bond, H, F, OH, C1-4-alkyl, C1-3-alkylhydroxy; R12 = H, C1-4-alkyl] and methods for the selective inhibition of cathepsin S. In a preferred aspect, cathepsin S is selectively inhibited in the presence of at least one other cathepsin isoenzyme. The present invention also provides methods for treating a disease state in a subject by selectively inhibiting cathepsin S. Thus, (S)-3-cyclohexyl-N-[2-(5-fluoro-2,3-dihydroindol-1-yl)ethyl]-2-[(5-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yl)thiophene-2-sulfonyl)amino]propanamide was synthesized. This compound displayed a Ki for cathepsin S of <0.1 μM and Ki's for cathepsins B, K, and L of > 10 μM.

IT 769965-31-3P
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

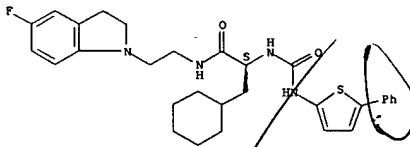
(inhibitors of cathepsin S for use in pharmaceuticals)

L6 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 769965-31-3 CAPLUS

CN Cyclohexanepropanamide, N-[2-(5-fluoro-2,3-dihydro-1H-indol-1-yl)ethyl]-α-[[[(5-phenyl-2-thienyl)amino]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Karen Cheng

10530876new1

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2004:328850 CAPLUS

DOCUMENT NUMBER: 140:357340

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

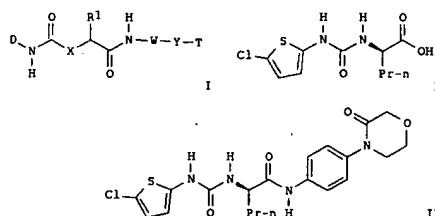
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10247226	A1	20040422	DE 2002-10247226	20021010
CA 2501706	A1	20040429	CA 2003-2501706	20030918
WO 2004035039	A1	20040429	WO 2003-EP10400	20030918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003270223	A1	20040504	AU 2003-270223	20030918
EP 1549304	A1	20050706	EP 2003-750577	20030918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006510504	T	20060330	JP 2004-54093	20030918
US 2006135515	A1	20060622	US 2004-530876	20050411
PRIORITY APPLN. INFO.: DE 2002-10247226 A 20021010				
WO 2003-5510400 W 20030918				

OTHER SOURCE(S): MARPAT 140:357340

G1

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS ON STN

(Continued)



AB Title compds. I [D = halo, A, OR2, etc.; X = NR3, O; R1 = H, Ar, cycloalkyl, etc.; R2 = H, A, [C(R3)]n-Ar, etc.; R3 = H, A; W = [C(R3)]n; Y = alkylene, cycloalkylene, Het-diyl (sic), etc.; T = aromatic, heterocyclic; A = OR2, NO2, CN, etc.; n = 0-2] and their pharmaceutically acceptable salts and formulations were prepared. For example, coupling of acid II, e.g., prepared from 2-chloro-5-isocyanatothiophene and D-norvaline, and 4-(4-aminophenyl)morpholin-3-one afforded benzimidazole III. In coagulation factor Xa inhibition assays, 2-examples of compds. I exhibited IC50 values ranging from 6.6-19 x 10-8 M, e.g., the IC50 value of benzimidazole III was 1.9 x 10-7 M. Compds. I are claimed useful for the treatment of thromboembolic illnesses and tumors.

IT 681816-81-9P 681816-82-0P 681816-83-1P
681816-84-2P 681816-86-4P 681816-87-5P
681816-88-6P 681816-89-7P 681816-90-0P
681816-91-1P 681816-92-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(5-chloro-2-thienyl)ureas and related compds. as coagulation factor Xa inhibitors for the treatment of thromboembolic illnesses)

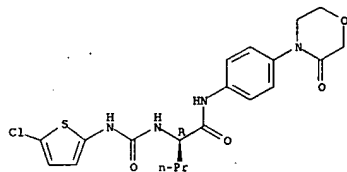
RN 681816-81-9 CAPLUS

CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS ON STN

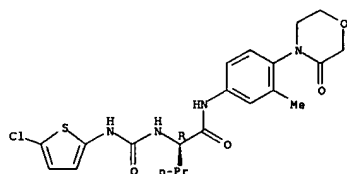
(Continued)



RN 681816-82-0 CAPLUS

CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

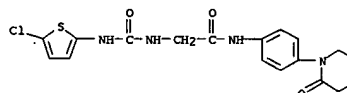
Absolute stereochemistry.



RN 681816-83-1 CAPLUS

CN Acetanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



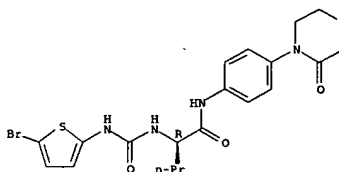
RN 681816-84-2 CAPLUS

CN Pentanamide, 2-[[[(5-bromo-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS ON STN

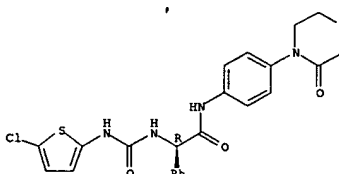
(Continued)



RN 681816-86-4 CAPLUS

CN Benzeneacetamide, α-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 681816-87-5 CAPLUS

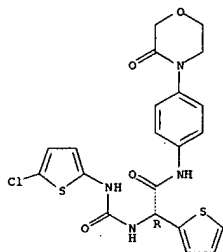
CN 2-Thiopheneacetamide, α-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Karen Cheng

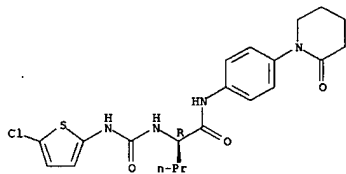
10530876new1

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 681816-88-6 CAPLUS
 CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

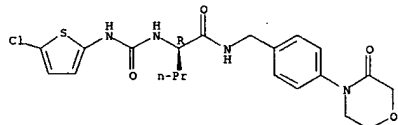


RN 681816-89-7 CAPLUS
 CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyrazinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

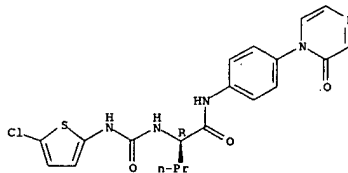
Absolute stereochemistry.

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 RN 681816-92-2 CAPLUS
 CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

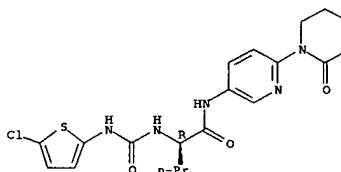


L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



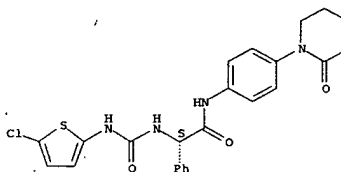
RN 681816-90-0 CAPLUS
 CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[6-(2-oxo-1-piperidinyl)-3-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 681816-91-1 CAPLUS
 CN Benzenacetamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:892749 CAPLUS
 DOCUMENT NUMBER: 139:381378
 TITLE: Preparation of carboxylic acid amides as inhibitors of blood-coagulation factor Xa and VIIa

INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Gleitz, Johannes; Cezanne, Bertram; Tsaklakis, Christos; Barnes, Christopher

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093235	A1	20031113	WO 2003-EP3331	20030331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10218974	A1	20031127	DE 2002-10218974	20020427
DE 10236868	A1	20040226	DE 2002-10236868	20020812
CA 2483228	A1	20031113	CA 2003-2483228	20030331
AU 2003226755	A1	20031117	AU 2003-226755	20030331
EP 1499591	A1	20050126	EP 2003-747402	20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005531547	T	20051020	JP 2004-501374	20030331
US 2005171154	A1	20050804	US 2004-512478	20041026
US 7183277	B2	20070227		
PRIORITY APPL. INFO.: DE 2002-10218974 A 20020427				
DE 2002-10236868 A 20020812				
WO 2003-EP3331 W 20030331				

OTHER SOURCE(S): MARPAT 139:381378
 AB Carboxylic acid amides DNHCO)CHRIC(O)NHWT [D = (substituted) Ph, pyridyl, thienyl; X = NR3, O; R1 = H, Ar, Het, cycloalkyl, (substituted) A; W = [C(R3)2]n; Y = alkylene, cycloalkylene, Het-diyl, Ar-diyl; T = (bicyclic) (substituted) heterocyclyl; R3 = H, A; A = (branched) (interrupted) (fluorinated) C1-10 alkyl; Ar = (substituted) Ph, naphthyl, biphenyl; Het = (bicyclic) (substituted) heterocyclyl; n = 0-2], were prepared for treating thrombosis and tumors. Thus, (R)-2-[N-(4-chlorophenyl)-carbamoyloxy]-N-[4-(2-iminopiperidin-1-yl)phenyl]-2-phenylacetamide (preparation given) in HCl was lyophilized to give (R)-2-[N-(4-chlorophenyl)-carbamoyloxy]-N-[4-(2-iminopiperidin-1-yl)phenyl]-2-phenylacetamide hydrochloride. The latter showed affinity to the receptor Xa with IC50 = 5.8-10-8 M and to the receptor VIIa with IC50 = 9.9-10-8 M.

IT 625103-76-6P

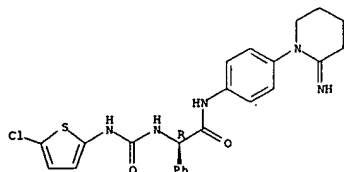
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Karen Cheng

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D.P.

10530876new1

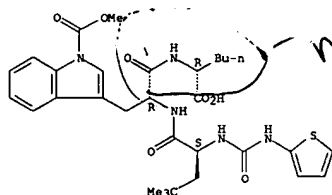
L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(prepn. of carboxylic acid amides as inhibitors of blood-coagulation factor Xa and VIIa)
RN 625103-76-6 CAPLUS
CN Benzeneacetamide, n-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidinyl)phenyl]-, (eR)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

- $R_1 = Ar$
- $W = [C(R^3)_2]_n$, $n=0$
- $Y = Ar-diyd$
- $T = heterocyclic ring$
w/IN ring
sub. w/ $N(R^3)_2$
 $N(R^2)_2$

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1-naphthylmethyl, or benzyl contg. 0-2 OH, formyl, alkyl, alkoxy, alkoxy, alkoxy, NO2, or R51COX2 groups; R51 = alkyl, alkoxy, or amino optionally substituted by alkyl; X2 = O, NR52; R52 = H, alkyl; X3 = O, S; R6 = H, alkyl or alkenyl optionally contg. OH, alkoxy, alkylthio, heterocyclyl groups; n = 0, 1; Y = CH2OH, CO2R71, COMHR72; R71 = H, alkyl; R72 = H, 1H-tetrazol-5-yl, sulfo, phosphono, alkyl optionally contg. OH, carbonyl, or sulfo or a pharmaceutically acceptable salt thereof, inhibit the binding of endothelin to its endothelin B (ETB) receptor and are useful in treating diseases assoc. with excess prodn. or secretion of endothelin. Thus, Boc-L-Leu-D-Trp(CO2Me)-D-Nle-OH was prepd. by std. sold. peptide coupling reactions and showed 90% inhibition of binding in a 125I-endothelin-1 assay at 1.1 μ M, while 108 related peptides showed 18-100% inhibition at the same concn.
IT 158740-02-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of endothelin antagonistic peptides)
RN 158740-02-4 CAPLUS
CN D-Norleucine, N-[1-(methoxycarbonyl)-N-[4-methyl-N-[(2-thienylamino)carbonyl]-L-leucyl]-D-tryptophyl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

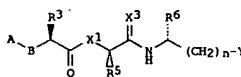


not claimed

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:231368 CAPLUS
DOCUMENT NUMBER: 126:305783
TITLE: Preparation of endothelin antagonistic peptides
Fujita, Kagari; Ihara, Masaki; Ikemoto, Fumihiko; Yano, Mitsuo; Nishikibe, Masaru; Ishikawa, Kiyofumi; Fukami, Takehiro; Hayama, Takeshi; Niijima, Kenji; Nagase, Toshio; Mase, Toshiaki
INVENTOR(S): Banyu Pharmaceutical Co., Ltd., Japan
U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 884,642, abandoned.
CODEN: USXXAM
Patent
English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5614498	A	19970325	US 1992-945414	19920916
KR 230630	B1	19991115	KR 1992-23363	19921204
US 5470833	A	19951128	US 1994-213829	19940314
US 5444152	A	19950822	US 1994-214679	19940321
US 5496928	A	19960305	US 1994-230534	19940420
US 5691315	A	19971125	US 1995-494818	19950626
PRIORITY APPLN. INFO.:				
		JP 1990-149105	JP 1990-149105	A 19900607
		US 1991-712095	US 1991-712095	B3 19910607
		JP 1991-347670	JP 1991-347670	A 19911204
		JP 1991-353738	JP 1991-353738	A 19911218
		US 1992-884642	US 1992-884642	B2 19920518
		JP 1992-234207	JP 1992-234207	A 19920810
		US 1992-884189	US 1992-884189	B1 19920518
		US 1992-945414	US 1992-945414	A2 19920916
		US 1992-981424	US 1992-981424	B1 19921125
		US 1994-213829	US 1994-213829	A3 19940314

OTHER SOURCE(S): MARPAT 126:305783
GI

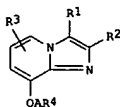


AB Peptides I [A = R11O2C, R12R13NCO, R11 = alkyl, Ph; R12 = alkyl, cycloalkyl, 1-adamantyl, Ph substituted by 0-2 halo, CF3, NO2, NH2, OHCH3, pyridyl, thienyl; R13 = H, alkyl, cycloalkyl; NR12R13 = optionally substituted 5-9-membered N heterocycle containing 0-1 S atoms and optionally benzo-fused; B = O, NR2; R2 = H, alkyl; R3 = alkyl, cycloalkyl, aryl, heterocyclic, cycloalkylalkyl, arylalkyl, heterocyclalkyl; X1 = O, NR4; R4 = H, alkyl; R5 = 3-indolylmethyl, 3-benzothienylmethyl,

L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:330513 CAPLUS
DOCUMENT NUMBER: 122:105879
TITLE: Preparation of imidazo[1,2-a]pyridines as bradykinin antagonists.
INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Yuki, Sawada; Tanaka, Hirokazu
Fujisawa Pharmaceutical Co., Ltd., Japan
Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
Patent
English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 596406	A1	19940511	EP 1993-117474	19931028
EP 596406	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9350242	A	19940512	AU 1993-50242	19931026
AU 686115	B2	19940205		
ZA 9308011	A	19940609	ZA 1993-8011	19931027
IL 107426	A	19970713	IL 1993-107426	19931027
AT 174596	T	19990115	AT 1993-117474	19931028
ES 2125294	T3	19990301	ES 1993-117474	19931028
CA 2102137	A1	19940503	CA 1993-2102137	19931101
CN 1089947	A	19940727	CN 1993-119684	19931101
HU 66302	A2	19941128	HU 1993-3119	19931102
JP 07300478	A	19951114	JP 1993-274643	19931102
JP 2763036	B2	19980611		
US 5574042	A	19961112	US 1995-441786	19950516
US 5750699	A	19980512	US 1996-662198	19960612
PRIORITY APPLN. INFO.:				
		US 1992-22947	US 1992-22947	A 19921102
		GB 1993-4249	GB 1993-4249	A 19930303
		US 1993-142967	US 1993-142967	B2 19931029
		US 1994-235632	US 1994-235632	B1 19940429
		US 1995-441786	US 1995-441786	A3 19950516

OTHER SOURCE(S): MARPAT 122:105879
GI

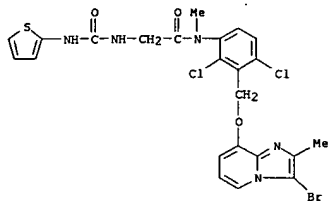


AB Title compds. [I; R1 = halo; R2, R3 = H, alkyl, haloalkyl, aryl, R4 = aryl having suitable substituent(s), heterocyclyl optionally having suitable substituent(s); Q = O or NR11; R11 = H, acyl, and A alkylene], were prepared. Thus, 8-(2,6-dichloro-3-nitrobenzyl)-2-methylimidazo[1,2-a]pyridine was stirred with N-bromosuccinimide in EtOH/dioxane to give 3-bromo-8-(2,6-dichloro-3-nitrobenzyl)-2-methylimidazo[1,2-a]pyridine. I at 10-5 M gave 95-100% inhibition of 3H-bradykinin binding to guinea pig

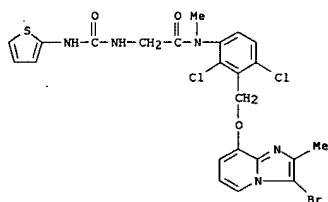
Karen Cheng

10530876new1

L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 ileum preps.
 IT 160643-98-1P 160644-59-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as bradykinin antagonist)
 RN 160643-98-1 CAPLUS
 CN Acetamide, N-[3-[[[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]-N-methyl-2-[[[(2-thienylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 160644-59-7 CAPLUS
 CN Acetamide, N-[3-[[[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]-N-methyl-2-[[[(2-thienylamino)carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

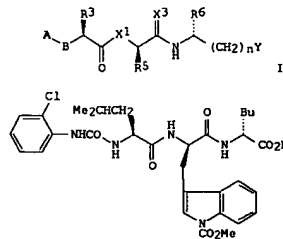


● HCl

L6 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:681232 CAPLUS
 DOCUMENT NUMBER: 121:281232
 TITLE: Preparation of peptide endothelin antagonists
 INVENTOR(S): Ishikawa, Kiyofumi; Fukami, Takehiro; Nagase, Toshio; Mase, Toshiaki; Ihara, Masaki; Yano, Mitsuo; Nishikibe, Masaru
 PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
 SOURCE: Can. Pat. Appl., 182 pp.
 CODEN: CPXXEB
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2084163	A1	19930605	CA 1992-2084163	19921130
CA 2084163	C	20040629		
EP 555537	A2	19930818	EP 1992-120225	19921126
EP 555537	A3	19941102		
EP 555537	B1	20001102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 197305	T	20001115	AT 1992-120225	19921126
AU 9229838	A	19930610	AU 1992-29838	19921202
AU 657585	B2	19950316		
JP 06107680	A	19940419	JP 1992-349905	19921202
JP 3398992	B2	20030421		
KR 230630	B1	19991115	KR 1992-23363	19921204
PRIORITY APPLN. INFO.:			JP 1991-347670	A 19911204
			JP 1991-353738	A 19911218
			JP 1992-234207	A 19920810

OTHER SOURCE(S): MARPAT 121:281232
 GI



AB Title compds. (I: A = R11O2C, R12R13NCO; R11 = alkyl, Ph; R12 = alkyl, cycloalkyl, 1-adamantyl, (substituted) Ph; R13 = H, alkyl, cycloalkyl;

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L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 R12R13N, = (substituted) 5-9-membered heterocyclic ring; B = O, NR2; R2 = H, alkyl; R3 = alkyl, cycloalkyl, aryl, heterocyclyl, cycloalkyl, aryl, heterocyclylalkyl; X1 = O, NR3; R5 = 3-indolylmethyl, 3-benzothienylmethyl, 1-naphthylmethyl, (substituted) PhCH2; R6 = H, alkyl, (substituted) alkenyl; n = 0, 1; Y = hydroxymethyl, CO2R71, CONHR72, tetrazolyl, sulfo, phosphono; R71 = H, alkyl; R72 = H, (substituted) alkyl, were prepd. Thus, title compd. 1, prepd. by soln. phase methods, antagonized endothelin-3-induced contraction of rabbit pulmonary artery with PA2 = 6.7.
 IT 158740-02-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of, as endothelin antagonist)
 RN 158740-02-4 CAPLUS
 CN D-Norleucine, N-[1-(methoxycarbonyl)-N-[4-methyl-N-[(2-thienylamino)carbonyl]-L-leucyl]-D-tryptophyl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

